

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	4405	514/248 544/236 514/300 546/123	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/12/27 15:07
L2	475	I1 and quinolone	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/12/27 15:11
L3	68	I2 and platelet	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/12/27 15:12
L4	0	I3 and sakae and pyridonecarboxylic	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/12/27 15:09
L5	327	I1 and \$dihydroquinolin\$	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/12/27 15:11
L6	59	I5 and platelet	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/12/27 15:12

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NEWS 3 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 4 AUG 13 CA/CAplus enhanced with additional kind codes for granted patents
NEWS 5 AUG 20 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 6 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 7 AUG 27 USPATOLD now available on STN
NEWS 8 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 9 SEP 07 STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 10 SEP 13 FORIS renamed to SOFIS
NEWS 11 SEP 13 INPADOCDB enhanced with monthly SDI frequency
NEWS 12 SEP 17 CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS 13 SEP 17 CAplus coverage extended to include traditional medicine patents
NEWS 14 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 15 OCT 02 CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 16 OCT 19 BEILSTEIN updated with new compounds
NEWS 17 NOV 15 Derwent Indian patent publication number format enhanced
NEWS 18 NOV 19 WPIX enhanced with XML display format
NEWS 19 NOV 30 ICSD reloaded with enhancements
NEWS 20 DEC 04 LINPADOCDB now available on STN
NEWS 21 DEC 14 BEILSTEIN pricing structure to change
NEWS 22 DEC 17 USPATOLD added to additional database clusters
NEWS 23 DEC 17 IMSDRUGCONF removed from database clusters and STN
NEWS 24 DEC 17 DGENE now includes more than 10 million sequences
NEWS 25 DEC 17 TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS 26 DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 27 DEC 17 CA/CAplus enhanced with new custom IPC display formats
NEWS 28 DEC 17 STN Viewer enhanced with full-text patent content from USPATOLD

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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SINCE FILE ENTRY	0.21	TOTAL SESSION	0.21
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STRUCTURE FILE UPDATES: 26 DEC 2007 HIGHEST RN 959588-76-2
DICTIONARY FILE UPDATES: 26 DEC 2007 HIGHEST RN 959588-76-2

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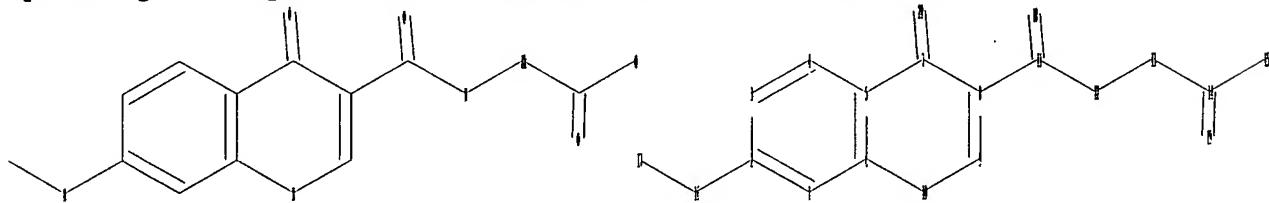
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=> Uploading C:\Program Files\Stnexp\Queries\10-562128genA.str
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chain nodes :
11 12 13 14 15 16 17 18 19 20
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
2-16 7-20 8-11 11-12 11-18 12-13 13-14 14-15 14-19 16-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
2-16 5-7 6-10 7-8 7-20 8-9 9-10 11-12 11-18 12-13 13-14 14-15 14-19
16-17
exact bonds :

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8-11

normalized bonds :

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Match level :

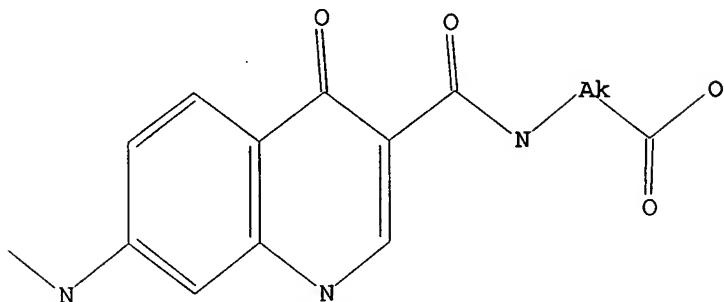
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11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11 full

FULL SEARCH INITIATED 15:25:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4281 TO ITERATE

100.0% PROCESSED 4281 ITERATIONS
SEARCH TIME: 00.00.01

8 ANSWERS

L2 8 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
172.55	172.76

FILE 'CAPLUS' ENTERED AT 15:26:06 ON 27 DEC 2007
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=> s 12
L3 8 L2

=> d 12 1-8 abs ibib hitstr
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n

=> d 13 1-8 abs ibib hitstr

L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
 A5 Quadruplex nucleotide sequences and methods for identifying interacting mol. are provided. The quadruplex sequences comprise C-rich or G-rich sequences from human genomic DNA and may conform to the motif $[(G3+N1-7)3G3+]$ or $[(C3+N1-7)3C3+]$, where "3+" is three or more nucleotides, C is cytosine, G is guanine, and N is any nucleotide. The method for identifying quinolone or porphyrin derivs. that bind to human nucleic acid containing a quadruplex structure or displace a protein from a nucleic acid comprises: (1) contact the nucleic acid and a compound that binds to the nucleic acid with a test mol.; and (2) detecting the amount of the compound bound or not bound to the nucleic acid. The test mol. is identified as a mol. that binds to the nucleic acid containing the nucleotide sequence when less of the compound binds to the nucleic acid in the presence of the test mol. than in the absence of the test mol. The invention also identifies 1450 quinolone derivs. that bind to quadruplex DNA or RNA sequences. Identifying modulators of nucleic acid synthesis is achieved in a system containing template nucleic acid, primer oligonucleotides, and DNA polymerase or RNA polymerase.
 ACCESSION NUMBER: 2007538440 CAPLUS
 DOCUMENT NUMBER: 147:3133
 TITLE: Targeting quadruplex sequences in human nucleic acids by identifying interacting quinoline and porphyrin derivatives
 INVENTOR(S): O'Brien, Sean; Siddiqui-Jain, Adam
 PATENT ASSIGNEE(S): Cyline Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 219pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007056113	A2	20070518	WO 2006-US42906	20061102
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, ED, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SI, TQ, TM, TN, TR, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:		US 2005-732531P	P	20051102
		US 2005-735686P	P	20051110

IT 936826-07-2
 RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
 (targeting quadruplex sequences in human nucleic acids by identifying interacting quinoline and porphyrin derivs.)
 RN 936826-07-2 CAPLUS

L3 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

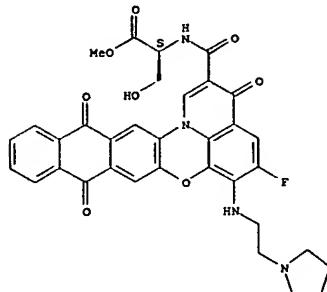
AB Process for producing compds. I [X = CR7, N; Y = CR6, N; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, O-alkyl; R4 = (un)substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.; R11 = H, (un)substituted alkyl, optionally substituted amino by (un)substituted alkyl; R12 = H, (un)substituted alkyl, aryl; R11 and R12 may combine to form cyclic amino group in cooperation with the adjacent nitrogen.] or their pharmaceutically acceptable salts, characterized by reaction of compds. II [X, Y, R2-R5 = same as above] or active derivs. thereof with NH(R11)R12 [R11, R12 = same as above], was provided. For example, to a solution of compound III [R = OH; R' = cyclopentyl] (400 mg) in DMF (5.0 mL) was added 1,1'-carbonyldiimidazole (350 mg) at room temperature, the reaction was stirred at 100 °C for 20 h. The resulting mixture was treated with Et3N (0.2 mL) and glycine Et ester hydrochloride (180 mg) at room temperature for 5 h to give compound III [R = NHCH2CO2Et; R' = cyclopentyl]. In platelet aggregation inhibition assays, compound III [R = NHCH2CH2P(O)(OH)2; R' = 2,2-dimethyl-1,3-dioxan-5-yl] exhibited the activity of 92%.

ACCESSION NUMBER: 2006:082644 CAPLUS
 DOCUMENT NUMBER: 145:292885
 TITLE: Quinolone and related compounds as platelet aggregation inhibitors, and process for the preparation thereof
 INVENTOR(S): Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsuchimoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushige; Horitani, Yumiko; Takahashi, Atsushi
 PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
 SOURCE: Jpn. Kokai Tokyo Koho, 95pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

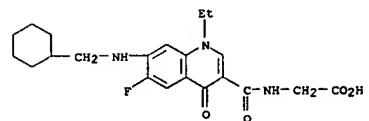
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 20062255379	A	20060831	JP 2006-9367	20060118
PRIORITY APPLN. INFO.:			JP 2005-12618	A 20050120

OTHER SOURCE(S): MARPAT 145:292885
 IT 836613-50-4P 836617-05-1P 836617-06-2P
 836617-18-6P 836617-19-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of quinolone and related compds. as platelet aggregation inhibitors)
 RN 836613-50-4 CAPLUS

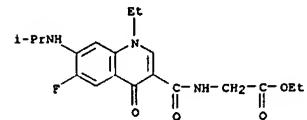
L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 CN L-Serine, N-[(5-fluoro-9,14-dihydro-3,9,14-trioxa-6-[(2-(1-pyrrolidinyl)ethyl]amino)-3H-naphtho[2,3-b]pyrido[3,2,1-kl]phenoxazin-2-yl]carbonyl]-, methyl ester (CA INDEX NAME)
 Absolute stereochemistry.



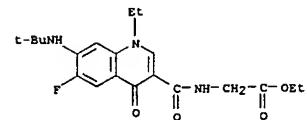
L3 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 CN Glycine, N-[(7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl)- (CA INDEX NAME)



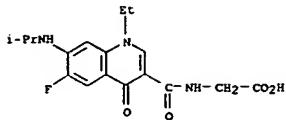
RN 836617-05-1 CAPLUS
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 N-[(1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl)-, ethyl ester (CA INDEX NAME)



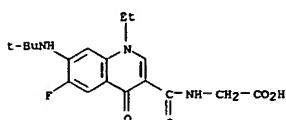
RN 836617-06-2 CAPLUS
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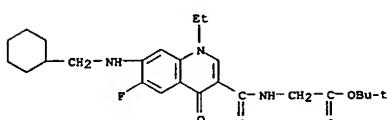
RN 836617-18-6 CAPLUS
 CN Glycine,
 N-[(1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl)- (CA INDEX NAME)



RN 836617-19-7 CAPLUS
 CN Glycine, N-[(7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl)carbonyl]- (CA INDEX NAME)



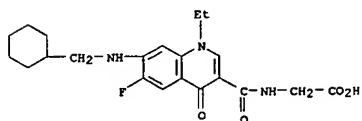
IT 836621-98-8P, tert-Butyl [(7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl)carbonyl]aminoacetate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of quinolone and related compds. as platelet aggregation inhibitors)
 RN 836621-98-8 CAPLUS
 CN Glycine, N-[(7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl)carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



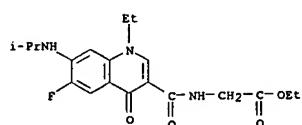
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006225378	A	20060831	JP 2006-9349	20060118
PRIORITY APPLN. INFO.:				
			JP 2005-12561	A 20050120

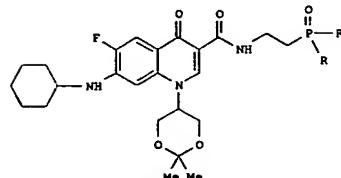
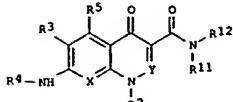
OTHER SOURCE(S): MARPAT 145:292884
 IT 836613-50-4P 836617-05-1P 836617-06-2P
 836617-18-6P 836617-19-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of quinolone derivs. as platelet aggregation inhibitors)
 RN 836613-50-4 CAPLUS
 CN Glycine, N-[(7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl)carbonyl]- (CA INDEX NAME)



RN 836617-05-1 CAPLUS
 CN Glycine,
 N-[(1-ethyl-6-fluoro-1,4-dihydro-7-(1-methylethyl)amino)-4-oxo-3-quinolinyl]carbonyl-, ethyl ester (CA INDEX NAME)

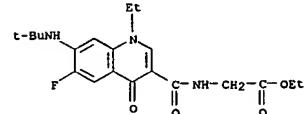


RN 836617-06-2 CAPLUS
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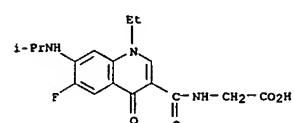


AB Title compds. I (X = CR7, N; Y = CR6, N; R11 = H, (un)substituted alkyl, optionally substituted amino by (un)substituted alkyl; R12 = H, (un)substituted alkyl, aryl; R11 and R12 may combine to form a (un)substituted cyclic amino group in cooperation with the adjacent nitrogen; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = halo, alkyl, -O-alkyl; R4 = (un)substituted cycloalkyl, non aromatic heterocycle, alkyl substituted by cycloalkyl; further detail on R4 is given.; R5 = H, halo, cyano, etc.; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, etc.) and their pharmaceutically acceptable salts were prepared. For example,

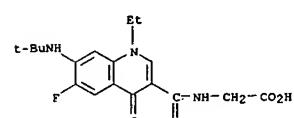
Pd/C catalyzed debenzylation of compound II [R = OCH2Ph] under H2 afforded compound II (R = OH). In platelet aggregation inhibition assays, compound II [R = OH] exhibited the activity of 924.
 ACCESSION NUMBER: 2006:882641 CAPLUS
 DOCUMENT NUMBER: 145:292884
 TITLE: Preparation of quinolone derivatives as platelet aggregation inhibitors
 INVENTOR(S): Watanuki, Susumu; Koga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Kazunari; Kaga, Daisuke; Okuda, Takao; Hirayama, Fukushi; Moritani, Yumiko; Takasaki, Atsushi
 PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 95pp.
 CODEN: JPOXKAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1



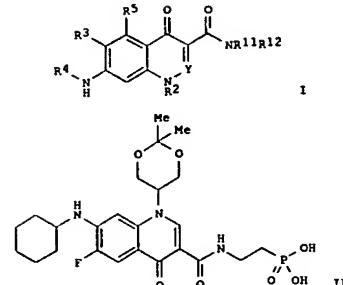
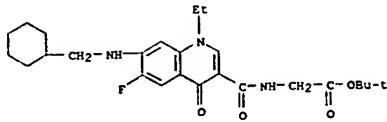
RN 836617-18-6 CAPLUS
 CN Glycine,
 N-[(1-ethyl-6-fluoro-1,4-dihydro-7-(1-methylethyl)amino)-4-oxo-3-quinolinyl]carbonyl- (CA INDEX NAME)



RN 836617-19-7 CAPLUS
 CN Glycine, N-[(7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl)carbonyl]- (CA INDEX NAME)



IT 836621-98-8P, tert-Butyl [(7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl)carbonyl]aminoacetate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of quinolone derivs. as platelet aggregation inhibitors)
 RN 836621-98-8 CAPLUS
 CN Glycine, N-[(7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl)carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



AB The title compds. (I) and pharmaceutically acceptable salts thereof are characterized by each having an amide group at the 3-position which is substituted with a substituent having a carboxylate ester, phosphate ester, sulfate ester or the like, and an amino group at the 7-position which is substituted with a substituent having a ring structure [Y =

C-66: R6 = H, halo, lower alkyl, halo-lower alkyl; R2 = each (un)substituted lower alkyl, cycloalkyl, aryl, or heterocyclyl; R3 = halo; R5 = H, HO halo; R11 = H, lower alkyl or lower alkyl-amino wherein lower alkyl is optionally substituted; R12 = (un)substituted lower alkyl) are prepared. These compds. have excellent P2Y12 (adenine diphosphate receptor) inhibitory effect and platelet aggregation inhibitory effect and consequently are useful as platelet aggregation inhibitors. Thus, hydrogenolysis of [2-((1-(Cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5-

yl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl)carbonyl]amino)ethyl]phosphonic acid benzyl ester over 10% Pd-C in MeOH under hydrogen atmospheric for 3 h gave [2-((1-(Cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5-yl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl)carbonyl)amino]ethyl]phosphonic acid (II). II inhibited ADP-induced aggregation of human blood platelet by 92% at 10 μ M and the binding of [3 H]-2-Me-ADP to human P2Y12 by 96% at 30 nM.

ACCESSION NUMBER: 2006:733081 CAPLUS
DOCUMENT NUMBER: 145:188746
TITLE: Preparation of 4-quinolone-3-carboxamide derivatives and salts thereof as platelet aggregation inhibitors
INVENTOR(S): Koga, Yuji; Okuda, Takanori; Hirabayashi, Ryoji; Fujiyasu, Jiro; Miyazaki, Takehiro; Watanuki, Susumu; Hirayama, Fumio; Moritani, Yumiko; Takasaki, Jun
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: PCT Int. Appl., 150 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

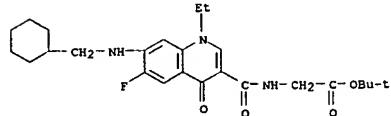
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006077851	A1	20060727	WO 2006-JP300590	20060118
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OTHER SOURCE(S): MARPAT 145:188746

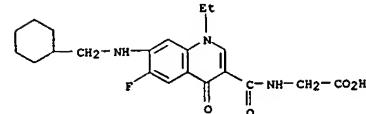
IT 836621-98-8
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Intermediate; preparation of 4-oxoquinoline-3-carboxamide derivs. and salts thereof as platelet aggregation inhibitors and P2Y12 receptor inhibitors)

RN: 836621-98-8 CAPLUS
CN: Glycine, N-[(7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

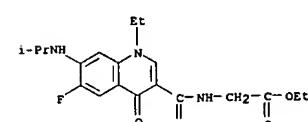


IT 836613-50-4P, [(1-(cyclohexylmethyl)amino)-1-ethyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl]amino]acetic acid
836617-05-1P 836617-06-2P 836617-18-6P
836617-19-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-oxoquinoline-3-carboxamide derivs. and salts thereof as platelet aggregation inhibitors and P2Y12 receptor inhibitors)

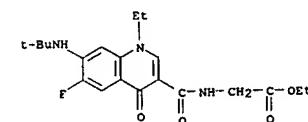
RN: 836613-50-4 CAPLUS
CN: Glycine, N-[(7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl)- (CA INDEX NAME)



RN: 836617-05-1 CAPLUS
CN: Glycine, N-[(1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl)-, ethyl ester (CA INDEX NAME)



RN: 836617-06-2 CAPLUS
CN: Glycine, N-[(7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl)-, ethyl ester (CA INDEX NAME)



RN: 836617-18-6 CAPLUS
CN: Glycine, N-[(1-ethyl-6-fluoro-1,4-dihydro-7-[(1-methylethyl)amino]-4-oxo-3-quinolinyl]carbonyl)- (CA INDEX NAME)

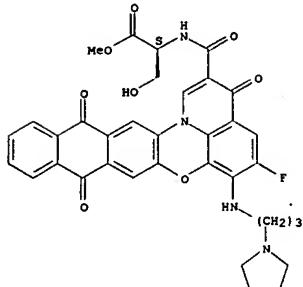
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to quinobenzoxazines analogs I [V = H, halo, NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; W = (un)substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful for ameliorating a cell disorder such as cancer. Forty-six synthetic examples showed the synthesis of intermediates. E.g., a 4-step synthesis of the fluoroacid II, starting from potassium Et malonate and 2,3,4,5-tetrafluorobenzoyl chloride, was given. Such prepared fluoroacids were reacted with amines to provide compds. I which were then tested in MTS assay and for inhibition of c-myc mRNA. E.g., the compound III showed 50% inhibition of c-myc mRNA levels at 4 μ M. The compds. I were tested for antitumor activity in mice (biol. data given for representative compds. I). The compds. I were also claimed as useful for ameliorating a microbial infection.

ACCESSION NUMBER: 2005:349002 CAPLUS
DOCUMENT NUMBER: 142:373851
TITLE: Preparation of substituted quinobenzoxazine analogs as antitumor agents
INVENTOR(S): Whitten, Jeffrey P.; Schwaebe, Michael; Siddiqui-Jain, Adam; Moran, Terence
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 453 pp., Cont.-in-part of U.S. Ser. No. 821,243.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005085468	A1	20050421	US 2004-903975	20040730
US 7141565	B1	20061128	US 2004-821243	20040407
US 2006029950	A1	20060209	US 2005-106909	20050415
AU 2005325210	A1	20060727	AU 2005-325210	20050729
CA 2575547	A1	20060727	CA 2005-2575547	20050729
WO 2006078317	A1	20060727	WO 2005-US26977	20050729

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SL, SM, SY, TJ, TM, TH, TR, TT, TZ, UA, UG, US, UZ, VC, VN, TU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, IL, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1773346 A1 20070418 EP 2005-856890 20050729

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

US 2006229303 A1 20061012 US 2006-390810 20060328

US 2007043039 A1 20070222 US 2006-431602 20060510

PRIORITY APPN. INFO.: US 2003-461271P P 20030407

US 2003-463171P P 20030415

US 2003-519535P P 20031112

US 2003-532727P P 20031223

US 2004-821243 A2 20040407

US 2004-903975 A2 20040730

US 2005-106909 A 20050415

WO 2005-US26977 W 20050729

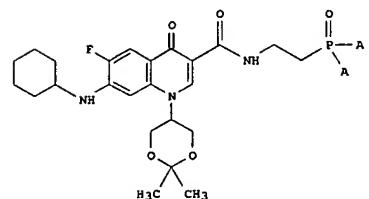
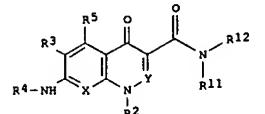
OTHER SOURCE(S): MARPAT 142:373851

IT 783361-99-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted quinobenzoxazine analogs as antitumor agents)

RN 783361-99-9 CAPLUS CN L-Serine, N-[(5-fluoro-9,14-dihydro-3,9,14-trioxa-6-[(3-(1-pyrrolidinyl)propyl]amino)-3H-naphtho[2,3-b]pyrido[3,2,1-kl]phenoxazin-2-yl]carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



AB Title compds. I [X = CR7, N: Y = CR6, N: R11 = H, (un)substituted alkyl, etc.; R12 = H, (un)substituted alkyl, etc.; R2 = (un)substituted alkyl, etc.; R3 = halo, etc.; R4 = (un)substituted cycloalkyl, etc.; R5 = H, halo, etc.; R6 = H, halo, etc.; R7 = H, halo, etc.] were prepared. For example, hydrogenation of compound II [A = OCH2Ph] afforded compound II

(A = OH). In platelet aggregation inhibition assays, compound II [A = OH] exhibited inhibition activity of 92%. Compds. I are claimed useful as platelet aggregation inhibitors, P2Y12 inhibitors.

ACCESSION NUMBER: 2005:99478 CAPLUS

DOCUMENT NUMBER: 142:197896

TITLE: Preparation of quinolone derivatives as platelet aggregation inhibitors

INVENTOR(S): Watanuki, Susumu; Kaga, Yuji; Moritomo, Hiroyuki; Tsukamoto, Issei; Kaga, Daisuke; Okuda, Takaaki; Hirayama, Fumio; Moritani, Yumiko; Takasaki, Jun

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

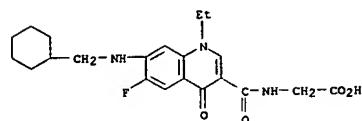
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG
 JP 2005053903 A 20050303 JP 2004-212326 20040720
 CA 2530352 A1 20050203 CA 2004-2530352 20040722
 EP 1650192 A1 20060426 EP 2004-748045 20040722
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 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
 CN 182632 A 20060830 CN 2004-80021187 20040722
 US 2006148806 A1 20060706 US 2005-562128 20051223
 IN 2006DN00144 A 20070824 IN 2006-DN144 20060109
 MX 2006PA00675 A 20060419 MX 2006-PA675 20060118
 PRIORITY APPLN. INFO.: JP 2003-278852 A 20030724
 PRIORITY APPLN. INFO.: WO 2004-JP10781 W 20040722

OTHER SOURCE(S): MURPAT 142:197896
 IT 836613-50-4P 836617-05-1P 836617-06-2P
 836617-18-6P 836617-19-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of quinolone derivs. as platelet aggregation inhibitors,
 P2Y12 inhibitors)

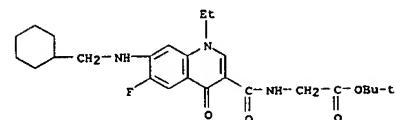
RN 836613-50-4 CAPLUS
 CN Glycine, N-[(7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (CA INDEX NAME)



RN 836617-05-1 CAPLUS
 CN Glycine, N-[(7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, ethyl ester (CA INDEX NAME)

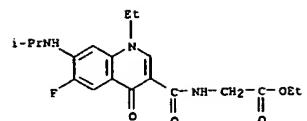
L3 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 IT 836621-98-8P, tert-Butyl [(7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl)amino]acetate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of quinolone derivs. as platelet aggregation inhibitors,
 P2Y12 inhibitors)

RN 836621-98-8 CAPLUS
 CN Glycine, N-[(7-[(cyclohexylmethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

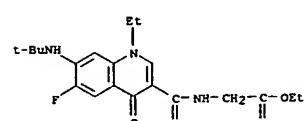


REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

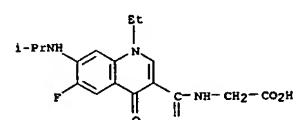
L3 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



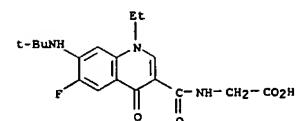
RN 836617-06-2 CAPLUS
 CN Glycine, N-[(7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]-, ethyl ester (CA INDEX NAME)



RN 836617-18-6 CAPLUS
 CN Glycine, N-[(1-ethyl-6-fluoro-1,4-dihydro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (CA INDEX NAME)



RN 836617-19-7 CAPLUS
 CN Glycine, N-[(7-[(1,1-dimethylethyl)amino]-1-ethyl-6-fluoro-1,4-dihydro-4-oxo-3-quinolinyl]carbonyl]- (CA INDEX NAME)



L3 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to quinobenzoxazines analogs I (V = H, halo, NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkanyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; W = (un)substituted 1,2-benzoz, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof) which are useful for ameliorating a cell disorder such as cancer. Forty-six synthetic examples showed the synthesis of intermediates. E.g., a 4-step synthesis of the fluorocarboxylic acid II, starting from potassium ET malonate and 2,4,5-trifluorobenzoyl chloride, was given. Such prepared fluorocarboxylic acids were reacted with amines to provide compds. I which were then tested in MTS assay and for inhibition of c-myc mRNA. E.g., the compound III showed 50% inhibition of c-myc mRNA levels

at 4 μ M. The compds. I were tested for antitumor activity in mice (biol. data given for representative compds. I). The compds. I were also claimed

as useful for ameliorating a microbial infection.
 ACCESSION NUMBER: 2004-1902098 CAPLUS
 DOCUMENT NUMBER: 141:395565

TITLE: Preparation of substituted quinobenzoxazine analogs
 as antitumor agents

INVENTOR(S): Whitten, Jeffrey P.; Schwaebe, Michael;
 Siddiqui-Jain,

PATENT ASSIGNEE(S): Adam; Moran, Terrance
 Cyclene Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 438 pp.

CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004091504	A2	20041028	WO 2004-US11108	20040407
WO 2004091504	A3	20060105		

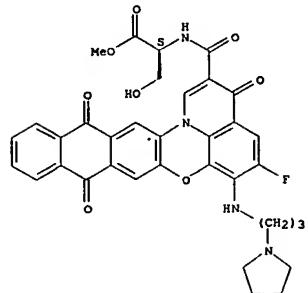
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L3 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
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 AU 2004229489 A1 20041028 AU 2004-229489 20040407
 CA 2521810 A1 20041028 CA 2004-2521810 20040407
 EP 1610759 A2 20060104 EP 2004-759406 20040407
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HR BR 2004009105 A 20060425 BR 2004-9105 20040407
 CN 1809572 A 20060726 CN 2004-80014351 20040407
 JP 2006522827 T 20061005 JP 2006-509898 20040407
 MX 2005PA10776 A 20060525 MX 2005-PA10776 20051006
 NO 2005004669 A 20051114 NO 2005-4669 20051011
 IN 20051002147 A 20070727 IN 2005-KN2147 20051031
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 US 2003-463171P P 20030415
 US 2003-519535P P 20031112
 US 2003-532727P P 20031223
 WO 2004-US11108 W 20040407

OTHER SOURCE(S): MARPAT 141:395565
 IT 783361-99-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of substituted quinobenzoxazine analogs as antitumor
 agents)
 RN 783361-99-9 CAPLUS
 CN L-Serine, N-[(5-fluoro-9,14-dihydro-3,9,14-trioxo-6-[(3-{1-
 pyrrolidinyl}propyl)amino]-3H-naphtho[2,3-b]pyrido[3,2-1-
 k]phenoxazin-2-
 yl]carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

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